

# **Document made available under the Patent Cooperation Treaty (PCT)**

International application number: PCT/EP05/002563

International filing date: 10 February 2005 (10.02.2005)

Document type: Certified copy of priority document

Document details: Country/Office: EP  
Number: 04356096.0  
Filing date: 11 June 2004 (11.06.2004)

Date of receipt at the International Bureau: 31 March 2005 (31.03.2005)

Remark: Priority document submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b)



World Intellectual Property Organization (WIPO) - Geneva, Switzerland  
Organisation Mondiale de la Propriété Intellectuelle (OMPI) - Genève, Suisse



Europäisches  
Patentamt

European  
Patent Office

Office européen  
des brevets

10 FEB 2005

Bescheinigung

Certificate

Attestation

Die angehefteten Unterlagen stimmen mit der ursprünglich eingereichten Fassung der auf dem nächsten Blatt bezeichneten europäischen Patentanmeldung überein.

The attached documents are exact copies of the European patent application described on the following page, as originally filed.

Les documents fixés à cette attestation sont conformes à la version initialement déposée de la demande de brevet européen spécifiée à la page suivante.

Patentanmeldung Nr. Patent application No. Demande de brevet n°

04356096.0

Der Präsident des Europäischen Patentamts;  
Im Auftrag

For the President of the European Patent Office

Le Président de l'Office européen des brevets  
p.o.

R C van Dijk





Anmeldung Nr:  
Application no.: 04356096.0  
Demande no:

Anmeldetag:  
Date of filing: 11.06.04  
Date de dépôt:

## Anmelder/Applicant(s)/Demandeur(s):

Bayer CropScience S.A.  
16 rue Jean-Marie-Leclair  
69009 Lyon  
FRANCE

Bezeichnung der Erfindung/Title of the invention/Titre de l'invention:  
(Falls die Bezeichnung der Erfindung nicht angegeben ist, siehe Beschreibung.  
If no title is shown please refer to the description.  
Si aucun titre n'est indiqué se referer à la description.)

Fungicidal composition comprising a pyridylethylbenzamide derivative and a compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms

In Anspruch genommene Priorität(en) / Priority(ies) claimed /Priorité(s)  
revendiquée(s)

Staat/Tag/Aktenzeichen/State>Date/File no./Pays/Date/Numéro de dépôt:

EP/12.02.04/EP 04356019

Internationale Patentklassifikation/International Patent Classification/  
Classification internationale des brevets:

A01N43/40

Am Anmeldetag benannte Vertragstaaten/Contracting states designated at date of  
filing/Etats contractants désignés lors du dépôt:

AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC NL  
PL PT RO SE SI SK TR LI



**Fungicidal composition comprising a pyridylethylbenzamide derivative and a compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms**

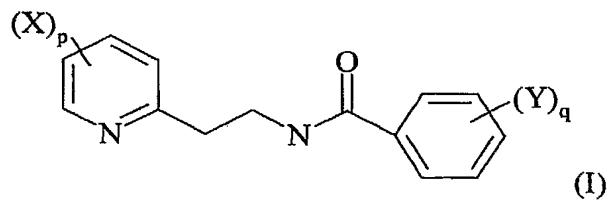
5       The present invention relates to novel fungicide compositions comprising a pyridylethylbenzamide derivative and a compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms. The present invention also relates to a method of combating or controlling phytopathogenic fungi by applying at a locus infested or liable to be infested such a  
10 composition.

International patent application WO 01/11965 generically discloses numerous pyridylethylbenzamide derivatives. The possibility of combining one or more of these numerous pyridylethylbenzamide derivatives with known fungicidal products  
15 to develop a fungicidal activity is disclosed in general terms, without any specific example or biological data.

It is always of high-interest in agriculture to use novel pesticidal mixtures showing a synergistic effect in order notably to avoid or to control the development of resistant strains to the active ingredients or to the mixtures of known active  
20 ingredients used by the farmer while minimising the doses of chemical products spread in the environment and reducing the cost of the treatment.

We have now found some novel fungicidal compositions which possess the above mentioned characteristics.

25       Accordingly, the present invention relates to a composition comprising :  
a) a pyridylethylbenzamide derivative of general formula (I)



in which :

- p is an integer equal to 1, 2, 3 or 4;
  - q is an integer equal to 1, 2, 3, 4 or 5;
- 30       - each substituent X is chosen, independently of the others, as being halogen, alkyl or haloalkyl;

- each substituent Y is chosen, independently of the others, as being halogen, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy, amino, phenoxy, alkylthio, dialkylamino, acyl, cyano, ester, hydroxy, aminoalkyl, benzyl, haloalkoxy, halosulphonyl, halothioalkyl, alkoxyalkenyl, alkylsulphonamide, nitro, alkylsulphonyl, phenylsulphonyl or 5 benzylsulphonyl;
- as to the N-oxides of the compounds thereof;
- and
- b) a compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms;
- 10 in a (a) / (b) weight ratio of from 0.01 to 20.

In the context of the present invention, a compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms is chosen from the group comprising a compound capable of inhibiting reduced 15 nicotinamide-adenine dinucleotide (NADH) deshydrogenase in phytopathogenic fungal organisms, a compound capable of inhibiting succinate dehydrogenase in phytopathogenic fungal organisms and a compound capable of inhibiting mitochondrial ubiquinol:ferricytochrome-c oxidoreductase in phytopathogenic fungal organisms.

20 A compound capable of inhibiting NADH deshydrogenase in phytopathogenic fungal organisms is also known as complex I inhibitor.

A compound capable of succinate dehydrogenase in phytopathogenic fungal organisms is also known as complex II inhibitor.

25 A compound capable of inhibiting mitochondrial ubiquinol:ferricytochrome-c oxidoreductase in phytopathogenic fungal organisms is also known as complex III inhibitor.

In the context of the present invention :

- halogen means chlorine, bromine, iodine or fluorine;
- 30 - each of the alkyl or acyl radicals present in the molecule contains from 1 to 10 carbon atoms, preferably from 1 to 7 carbon atoms, more preferably from 1 to 5 carbon atoms, and may be linear or branched;
- each of the alkenyl or alkynyl radicals present in the molecule contains from 2 to 10 carbon atoms, preferably from 2 to 7 carbon atoms, more preferably from 2 to 5 35 carbon atoms, and may be linear or branched.

The composition according to the present invention provides a synergistic effect. This synergistic effect allows a reduction of the chemical substances spread into the environment and a reduction of the cost of the fungal treatment.

In the context of the present invention, the term "synergistic effect" is defined by Colby according to the article entitled "Calculation of the synergistic and antagonistic responses of herbicide combinations" Weeds, (1967), 15, pages 20-22.

The latter article mentions the formula:

$$E = x + y - \frac{x * y}{100}$$

in which E represents the expected percentage of inhibition of the disease for the combination of the two fungicides at defined doses (for example equal to x and y respectively), x is the percentage of inhibition observed for the disease by the compound (I) at a defined dose (equal to x), y is the percentage of inhibition observed for the disease by the compound (II) at a defined dose (equal to y). When the percentage of inhibition observed for the combination is greater than E, there is a synergistic effect.

The composition according to the present invention comprises a pyridylethylbenzamide derivative of general formula (I). Preferably, the present invention relates to a composition comprising a pyridylethylbenzamide derivative of general formula (I) in which the different characteristics may be chosen alone or in combination as being :

- as regards p, p is 2;
- as regards q, q is 1 or 2. More preferably, q is 2;
- as regards X, X is chosen, independently of the others, as being halogen or haloalkyl. More preferably, X is chosen, independently of the others, as being a chlorine atom or a trifluoromethyl group;

- as regards Y, Y is chosen, independently of the others, as being halogen or haloalkyl. More preferably, Y is chosen, independently of the others, as being a chlorine atom or a trifluoromethyl group;

More preferably, the pyridylethylbenzamide derivative of general formula (I) present in the composition of the present invention is :

- N-{2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl}-2-trifluoromethylbenzamide (compound 1);
- N-{2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl}-2-iodobenzamide (compound 2); or

- N-{2-[3,5-dichloro-2-pyridinyl]ethyl}-2-trifluoromethylbenzamide (compound 3).

Even more preferably, the pyridylethylbenzamide derivative of general formula (I) present in the composition of the present invention is N-{2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl}-2-trifluoromethylbenzamide (compound 1).

5

The composition according to the present invention comprises a compound capable of inhibiting the transport of electrons of the respiratory chain.

Preferably, the present invention also relates to a composition comprising a complex I inhibitor. More preferably, the present invention relates to a composition comprising a complex I inhibitor which is diflumetorin.

Preferably, the present invention also relates to a composition comprising a complex II inhibitor. More preferably, the present invention relates to a composition comprising a complex II inhibitor selected from the carboxamide derivatives which may for example be *N*-[2-(1,3-dimethyl-butyl)-phenyl]-5-fluoro-1,3-dimethyl-1*H*-pyrazole-4-carboxamide, *N*-(3',4'-dichloro-5-fluorobiphenyl-2-yl)-3-(difluoro-methyl)-1-methyl-1*H*-pyrazole-4-carboxamide, *N*-[2-(1,3-dimethylbutyl)-thiophen-3-yl] 1-methyl-3-(trifluoromethyl)-1*H*-pyrazole-4-carboxamide, benodanil, carboxin, fenfuram, flutolanil, furametpyr, mepronil, boscalid, oxycarboxin, thifluzamide. *N*-[2-(1,3-dimethyl-butyl)-phenyl]-5-fluoro-1,3-dimethyl-1*H*-pyrazole-4-carboxamide, *N*-(3',4'-dichloro-5-fluorobiphenyl-2-yl)-3-(difluoro-methyl)-1-methyl-1*H*-pyrazole-4-carboxamide, boscalid and oxycarboxin are preferred.

25 Preferably, the present invention also relates to a composition comprising a complex III inhibitor. More preferably, the present invention relates to a composition comprising a complex III inhibitor selected from strobilurin derivatives, cyazofamid, fenamidone or famoxadone. Fenamidone is preferred.

Strobilurin derivatives are also preferred. According to the present invention, 30 strobilurin derivatives may for example be azoxystrobin, dimoxystrobin, fluoxastrobin, kresoxim-methyl, metominostrobin, trifloxystrobin, pyraclostrobin, picoxystrobin or 2-{2-[6-(3-chloro-2-methylphenoxy)-5-fluoro-pyrimidin-4-yloxy]-phenyl}2-methoxyimino-N-methylacetamide. 2-{2-[6-(3-chloro-2-methylphenoxy)-5-fluoro-pyrimidin-4-yloxy]-phenyl}2-methoxyimino-N-methylacetamide, 35 azoxystrobin, trifloxystrobin and fluoxastrobin are preferred.

The composition according to the present invention comprises (a) at least a pyridylethylbenzamide derivative of general formula (I) and (b) a compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms in an (a) / (b) weight ratio of from 0.01 to 20; preferably of from 5 0.05 to 10; even more preferably, of from 0.1 to 5.

The composition of the present invention may further comprise at least one other fungicide active ingredient (c).

The fungicidal active ingredient (c) may be selected from azaconazole,  
10 azoxystrobin, (Z)-N-[ $\alpha$ -(cyclopropylmethoxyimino)-2,3-difluoro-6-(trifluoromethyl)benzyl]-2-phenylacetamide, benalaxyl, benomyl, benthiavalicarb, biphenyl, bitertanol, blasticidin-S, boscalid, borax, bromuconazole, bupirimate, sec-butylamine, calcium polysulfide, captafol, captan, carbendazim, carboxin, carpropamid, chinomethionat, chlorothalonil, chlozolinate, copper hydroxide, copper  
15 octanoate, copper oxychloride, copper sulfate, cuprous oxide, cymoxanil, cyproconazole, cyprodinil, dazomet, debacarb, dichlofluanid, dichlorophen, diclocymet, diclomezine, dicloran, diethofencarb, difenoconazole, difenoquat metilsulfate, difenoquat, diflumetorim, dimethirimol, dimethomorph, diniconazole, dinobuton, dinocap, diphenylamine, dithianon, dodemorph, dodemorph acetate,  
20 dodine, edifenphos, epoxiconazole, ethaboxam, ethirimol, ethoxyquin, etridiazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fenfuram, fenchexamid, fenpiclonil, fenoxanil, fenpropidin, fenpropimorph, fentin, fentin hydroxide, fentin acetate, ferbam, ferimzone, fluazinam, fludioxonil, fluoroimide, fluoxastrobin, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriafol, folpet, formaldehyde,  
25 fosetyl, fosetyl-aluminium, fuberidazole, furalaxyd, furametpyr, guazatine, guazatine acetates, hexachlorobenzene, hexaconazole, 8-hydroxyquinoline sulfate, potassium hydroxyquinoline sulfate, hymexazol, cyazofamid, imazalil sulfate, imazalil, imibenconazole, iminoctadine, iminoctadine triacetate, ipconazole, iprobenfos, iprodione, iprovalicarb, isoprothiolane, kasugamycin, kasugamycin hydrochloride  
30 hydrate, kresoxim-methyl, mancopper, mancozeb, maneb, mepanipyrim, mepronil, mercuric chloride, mercuric oxide, mercurous chloride, metalaxyl, metalaxyl-M, metam-sodium, metam, metconazole, methasulfocarb, methyl isothiocyanate, metiram, metominostrobin, mildiomycin, myclobutanil, nabam, nickel bis(dimethyldithiocarbamate), nitrothal-isopropyl, nuarimol, octhilinone, ofurace,  
35 oleic acid, oxadixyl, oxine-copper, oxpoconazole fumarate, oxycarboxin, pefurazoate, penconazole, pencycuron, pentachlorophenol, sodium

pentachlorophenoxyde, pentachlorophenyl laurate, phenylmercury acetate, sodium 2-phenylphenoxyde, 2-phenylphenol, phthalide, picoxystrobin, piperalin, polyoxinspolyoxin B, polyoxin, polyoxorim, probenazole, prochloraz, procymidone, propamocarb hydrochloride, propamocarb, propiconazole, propineb,  
 5 prothioconazole, pyrazophos, pyributicarb, pyrifenoxy, pyrimethanil, pyroquilon, quinoxyfen, quintozen, silthiofam, spiroxamine, sulfur, tar oils, tebuconazole, tecnazene, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazoxide, tricyclazole, tridemorph, trifloxystrobin, triflumizole, triforine, triticonazole, validamycin,  
 10 vinclozolin, zineb, ziram, zoxamide, phosphorous acid, pyraclostrobin and simeconazole.

Preferably, fungicidal active ingredient (c) is selected from captane, folpet, dodine, propineb, mancozeb, thiram, tolylfluanid, iminoctadine, dithianon, copper hydroxide, copper octanoate, copper oxychloride, copper sulfate, fosetyl-Al, phosphorous acid, cymoxanil, iprovalicarb, benthiavalicarb, chlorotalonil, propamocarb, tebuconazole and spiroxamine.

Where the third active ingredient (c) as defined above is present in the composition, this compound may be present in an amount of (a) : (b) : (c) weight ratio of from 1 : 0.01 : 0.01 to 1 : 20 : 20; the ratios of compound (a) and compound (c) varying independently from each other. Preferably, the (a) : (b) : (c) weight ratio may be of from 1 : 0.05 : 0.05 to 1 : 10 : 10.

Following compositions may be cited to illustrate in a non-limited manner the present invention : compound 1 with diflumetorin, compound 1 with *N*-[2-(1,3-dimethyl-butyl)-phenyl]-5-fluoro-1,3-dimethyl-1*H*-pyrazole-4-carboxamide, compound 1 with *N*-(3',4'-dichloro-5-fluorobiphenyl-2-yl)-3-(difluoro-methyl)-1-methyl-1*H*-pyrazole-4-carboxamide, compound 1 with *N*-[2-(1,3-dimethylbutyl)-thiophen-3-yl] 1-methyl-3-(trifluoromethyl)-1*H*-pyrazole-4-carboxamide, compound 30 1 with benodanil, compound 1 with carboxin, compound 1 with fenfuram, compound 1 with flutolanil, compound 1 with furametpyr, compound 1 with mepronil, compound 1 with boscalid, compound 1 with oxycarboxin, compound 1 with thifluzamide, compound 1 with cyazofamid, compound 1 with fenamidone, compound 1 with famoxadone, azoxystrobin, compound 1 with dimoxystrobin, compound 1 with fluoxastrobin, compound 1 with kresoxim-methyl, compound 1 with metominostrobin, compound 1 with trifloxystrobin, compound 1 with

pyraclostrobin, compound 1 with picoxystrobin, compound 1 with 2-{2-[6-(3-chloro-2-methylphenoxy)-5-fluoro-pyrimidin-4-yloxy]-phenyl}2-methoxyimino-N-methylacetamide, compound 2 with diflumetorin, compound 2 with *N*-[2-(1,3-dimethyl-butyl)-phenyl]-5-fluoro-1,3-dime-thyl-1*H*-pyrazole-4-carboxamide,  
5 compound 2 with *N*-(3',4'-dichloro-5-fluorobiphenyl-2-yl)-3-(difluoro-methyl)-1-methyl-1*H*-pyrazole-4-carboxamide, compound 2 with *N*-[2-(1,3-dimethylbutyl)-thiophen-3-yl] 1-methyl-3-(trifluoromethyl)-1*H*-pyrazole-4-carboxamide, compound 2 with benodanil, compound 2 with carboxin, compound 2 with fenfuram, compound 2 with flutolanil, compound 2 with furametpyr, compound 2 with mepronil,  
10 compound 2 with boscalid, compound 2 with oxycarboxin, compound 2 with thifluzamide, compound 2 with cyazofamid, compound 2 with fenamidone, compound 2 with famoxadone. azoxystrobin, compound 2 with dimoxystrobin, compound 2 with fluoxastrobin, compound 2 with kresoxim-methyl, compound 2 with metominostrobin, compound 2 with trifloxystrobin, compound 2 with  
15 pyraclostrobin, compound 2 with picoxystrobin, compound 2 with 2-{2-[6-(3-chloro-2-methylphenoxy)-5-fluoro-pyrimidin-4-yloxy]-phenyl}2-methoxyimino-N-methylacetamide, compound 3 with diflumetorin, compound 3 with *N*-[2-(1,3-dimethyl-butyl)-phenyl]-5-fluoro-1,3-dimethyl-1*H*-pyrazole-4-carboxamide,  
compound 3 with *N*-(3',4'-dichloro-5-fluorobiphenyl-2-yl)-3-(difluoro-methyl)-1-  
20 methyl-1*H*-pyrazole-4-carboxamide, compound 3 with *N*-[2-(1,3-dimethylbutyl)-thiophen-3-yl] 1-methyl-3-(trifluoromethyl)-1*H*-pyrazole-4-carboxamide, compound 3 with benodanil, compound 3 with carboxin, compound 3 with fenfuram, compound 3 with flutolanil, compound 3 with furametpyr, compound 3 with mepronil, compound 3 with boscalid, compound 3 with oxycarboxin, compound 3 with thifluzamide, compound 3 with cyazofamid, compound 3 with fenamidone,  
25 compound 3 with famoxadone. azoxystrobin, compound 3 with dimoxystrobin, compound 3 with fluoxastrobin, compound 3 with kresoxim-methyl, compound 3 with metominostrobin, compound 3 with trifloxystrobin, compound 3 with pyraclostrobin, compound 3 with picoxystrobin, compound 3 with 2-{2-[6-(3-chloro-2-methylphenoxy)-5-fluoro-pyrimidin-4-yloxy]-phenyl}2-methoxyimino-N-methylacetamide.  
30

The composition according to the present invention may further comprise an other additional component such as an agriculturally acceptable support, carrier or  
35 filler.

In the present specification, the term "support" denotes a natural or synthetic, organic or inorganic material with which the active material is combined to make it easier to apply, notably to the parts of the plant. This support is thus generally inert and should be agriculturally acceptable. The support may be a solid or a liquid.

5 Examples of suitable supports include clays, natural or synthetic silicates, silica, resins, waxes, solid fertilisers, water, alcohols, in particular butanol, organic solvents, mineral and plant oils and derivatives thereof. Mixtures of such supports may also be used.

The composition may also comprise other additional components. In  
10 particular, the composition may further comprise a surfactant. The surfactant can be an emulsifier, a dispersing agent or a wetting agent of ionic or non-ionic type or a mixture of such surfactants. Mention may be made, for example, of polyacrylic acid salts, lignosulphonic acid salts, phenolsulphonic or naphthalenesulphonic acid salts, polycondensates of ethylene oxide with fatty alcohols or with fatty acids or with fatty  
15 amines, substituted phenols (in particular alkylphenols or arylphenols), salts of sulphosuccinic acid esters, taurine derivatives (in particular alkyl taurates), phosphoric esters of polyoxyethylated alcohols or phenols, fatty acid esters of polyols, and derivatives of the above compounds containing sulphate, sulphonate and phosphate functions. The presence of at least one surfactant is generally essential  
20 when the active material and/or the inert support are water-insoluble and when the vector agent for the application is water. Preferably, surfactant content may be comprised between 5% and 40% by weight of the composition.

Additional components may also be included, e.g. protective colloids, adhesives, thickeners, thixotropic agents, penetration agents, stabilisers, sequestering  
25 agents. More generally, the active materials can be combined with any solid or liquid additive, which complies with the usual formulation techniques.

In general, the composition according to the invention may contain from 0.05 to 99% (by weight) of active material, preferably 10 to 70% by weight.

Compositions according to the present invention can be used in various forms  
30 such as aerosol dispenser, capsule suspension, cold fogging concentrate, dustable powder, emulsifiable concentrate, emulsion oil in water, emulsion water in oil, encapsulated granule, fine granule, flowable concentrate for seed treatment, gas (under pressure), gas generating product, granule, hot fogging concentrate, macrogranule, microgranule, oil dispersible powder, oil miscible flowable  
35 concentrate, oil miscible liquid, paste, plant rodlet, powder for dry seed treatment, seed coated with a pesticide, soluble concentrate, soluble powder, solution for seed

treatment, suspension concentrate (flowable concentrate), ultra low volume (ulv) liquid, ultra low volume (ulv) suspension, water dispersible granules or tablets, water dispersible powder for slurry treatment, water soluble granules or tablets, water soluble powder for seed treatment and wettable powder.

5 These compositions include not only compositions which are ready to be applied to the plant or seed to be treated by means of a suitable device, such as a spraying or dusting device, but also concentrated commercial compositions which must be diluted before they are applied to the crop.

10 The fungicidal compositions of the present invention can be used to curatively or preventively control phytopathogenic fungi of crops. Thus, according to a further aspect of the present invention, there is provided a method for preventively or curatively controlling phytopathogenic fungi of crops characterised in that a fungicidal composition as hereinbefore defined is applied to the seed, the plant and/or to the fruit 15 of the plant or to the soil in which the plant is growing or in which it is desired to grow.

The composition as used against phytopathogenic fungi of crops comprises an effective and non-phytotoxic amount of an active material of general formula (I).

20 The expression "effective and non-phytotoxic amount" means an amount of composition according to the invention which is sufficient to control or destroy the fungi present or liable to appear on the crops, and which does not entail any appreciable symptom of phytotoxicity for the said crops. Such an amount can vary within a wide range depending on the fungus to be combated or controlled, the type of crop, the climatic conditions and the compounds included in the fungicidal composition according to the invention.

25 This amount can be determined by systematic field trials, which are within the capabilities of a person skilled in the art.

30 The method of treatment according to the present invention is useful to treat propagation material such as tubers or rhizomes, but also seeds, seedlings or seedlings pricking out and plants or plants pricking out. This method of treatment can also be useful to treat roots. The method of treatment according to the present invention can also be useful to treat the overground parts of the plant such as trunks, stems or stalks, leaves, flowers and fruits of the concerned plant.

35 Among the plants that can be protected by the method according to the invention, mention may be made of cotton; flax; vine; fruit crops such as *Rosaceae* sp. (for instance pip fruits such as apples and pears, but also stone fruits such as apricots, almonds and peaches), *Ribesioideae* sp., *Juglandaceae* sp., *Betulaceae* sp.,

*Anacardiaceae* sp., *Fagaceae* sp., *Moraceae* sp., *Oleaceae* sp., *Actinidiaceae* sp., *Lauraceae* sp., *Musaceae* sp. (for instance banana trees and plantains), *Rubiaceae* sp., *Theaceae* sp., *Sterculiceae* sp., *Rutaceae* sp. (for instance lemons, oranges and grapefruits); leguminous crops such as *Solanaceae* sp. (for instance tomatoes),  
5 *Liliaceae* sp., *Asteraceae* sp. (for instance lettuces), *Umbelliferae* sp., *Cruciferae* sp., *Chenopodiaceae* sp., *Cucurbitaceae* sp., *Papilionaceae* sp. (for instance peas), *Rosaceae* sp. (for instance strawberries); big crops such as *Graminae* sp. (for instance maize, cereals such as wheat, rice, barley and triticale), *Asteraceae* sp. (for instance sunflower), *Cruciferae* sp. (for instance colza), *Papilionaceae* sp. (for  
10 instance soja), *Solanaceae* sp. (for instance potatoes), *Chenopodiaceae* sp. (for instance beetroots); horticultural and forest crops; as well as genetically modified homologues of these crops.

Among the plants and the possible diseases of these plants protected by the method according to the present invention, mention may be made of :

- wheat, as regards controlling the following seed diseases: fusaria (*Microdochium nivale* and *Fusarium roseum*), stinking smut (*Tilletia caries*, *Tilletia controversa* or *Tilletia indica*), septoria disease (*Septoria nodorum*) and loose smut;
- wheat, as regards controlling the following diseases of the aerial parts of the plant: cereal eyespot (*Tapesia yallundae*, *Tapesia acuiformis*), take-all (*Gaeumannomyces graminis*), foot blight (*F. culmorum*, *F. graminearum*), black speck (*Rhizoctonia cerealis*), powdery mildew (*Erysiphe graminis forma specie tritici*), rusts (*Puccinia striiformis* and *Puccinia recondita*) and septoria diseases (*Septoria tritici* and *Septoria nodorum*);
- wheat and barley, as regards controlling bacterial and viral diseases, for example barley yellow mosaic;
- barley, as regards controlling the following seed diseases: net blotch (*Pyrenophora graminea*, *Pyrenophora teres* and *Cochliobolus sativus*), loose smut (*Ustilago nuda*) and fusaria (*Microdochium nivale* and *Fusarium roseum*);
- barley, as regards controlling the following diseases of the aerial parts of the plant: cereal eyespot (*Tapesia yallundae*), net blotch (*Pyrenophora teres* and *Cochliobolus sativus*), powdery mildew (*Erysiphe graminis forma specie hordei*), dwarf leaf rust (*Puccinia hordei*) and leaf blotch (*Rhynchosporium secalis*);
- potato, as regards controlling tuber diseases (in particular *Helminthosporium solani*, *Phoma tuberosa*, *Rhizoctonia solani*, *Fusarium solani*), mildew (*Phytophthora infestans*) and certain viruses (virus Y);

- potato, as regards controlling the following foliage diseases: early blight (*Alternaria solani*), mildew (*Phytophthora infestans*);
- cotton, as regards controlling the following diseases of young plants grown from seeds: damping-off and collar rot (*Rhizoctonia solani*, *Fusarium oxysporum*) and black root rot (*Thielaviopsis basicola*);
  - 5 - protein yielding crops, for example peas, as regards controlling the following seed diseases: anthracnose (*Ascochyta pisi*, *Mycosphaerella pinodes*), fusaria (*Fusarium oxysporum*), grey mould (*Botrytis cinerea*) and mildew (*Peronospora pisi*);
- 10 - oil-bearing crops, for example rape, as regards controlling the following seed diseases: *Phoma lingam*, *Alternaria brassicae* and *Sclerotinia sclerotiorum*;
- corn, as regards controlling seed diseases: (*Rhizopus* sp., *Penicillium* sp., *Trichoderma* sp., *Aspergillus* sp., and *Gibberella fujikuroi*);
- flax, as regards controlling the seed disease: *Alternaria linicola*;
- 15 - forest trees, as regards controlling damping-off (*Fusarium oxysporum*, *Rhizoctonia solani*);
- rice, as regards controlling the following diseases of the aerial parts: blast disease (*Magnaporthe grisea*), bordered sheath spot (*Rhizoctonia solani*);
- leguminous crops, as regards controlling the following diseases of seeds or 20 of young plants grown from seeds: damping-off and collar rot (*Fusarium oxysporum*, *Fusarium roseum*, *Rhizoctonia solani*, *Pythium* sp.);
- leguminous crops, as regards controlling the following diseases of the aerial parts: grey mould (*Botrytis* sp.), powdery mildews (in particular *Erysiphe cichoracearum*, *Sphaerotheca fuliginea* and *Leveillula taurica*), fusaria (*Fusarium oxysporum*, *Fusarium roseum*), leaf spot (*Cladosporium* sp.), alternaria leaf spot (25 *Alternaria* sp.), anthracnose (*Colletotrichum* sp.), septoria leaf spot (*Septoria* sp.), black speck (*Rhizoctonia solani*), mildews (for example *Bremia lactucae*, *Peronospora* sp., *Pseudoperonospora* sp., *Phytophthora* sp.);
- fruit trees, as regards diseases of the aerial parts: monilia disease (*Monilia fructigenae*, *M. laxa*), scab (*Venturia inaequalis*), powdery mildew (*Podosphaera leucotricha*);
  - 30 - vine, as regards diseases of the foliage: in particular grey mould (*Botrytis cinerea*), powdery mildew (*Uncinula necator*), black rot (*Guignardia biwelli*) and mildew (*Plasmopara viticola*);

- beetroot, as regards the following diseases of the aerial parts: cercospora blight (*Cercospora beticola*), powdery mildew (*Erysiphe beticola*), leaf spot (*Ramularia beticola*).

5       The fungicidal composition according to the present invention may also be used against fungal diseases liable to grow on or inside timber. The term "timber" means all types of species of wood, and all types of working of this wood intended for construction, for example solid wood, high-density wood, laminated wood, and plywood. The method for treating timber according to the invention mainly consists  
10      in contacting one or more compounds of the present invention, or a composition according to the invention; this includes for example direct application, spraying, dipping, injection or any other suitable means.

15      The fungicidal composition according to the present invention may also be used in the treatment of genetically modified organisms with the compounds according to the invention or the agrochemical compositions according to the invention. Genetically modified plants are plants into which genome a heterologous gene encoding a protein of interest has been stably integrated. The expression "heterologous gene encoding a protein of interest" essentially means  
20      genes which give the transformed plant new agronomic properties, or genes for improving the agronomic quality of the transformed plant.

25      The dose of active material usually applied in the treatment according to the present invention is generally and advantageously between 10 and 2000 g/ha, preferably between 20 and 1500 g/ha for applications in foliar treatment. The dose of active substance applied is generally and advantageously between 1 and 200 g per 100 kg of seed, preferably between 2 and 150 g per 100 kg of seed in the case of seed treatment. It is clearly understood that the doses indicated above are given as illustrative examples of the invention. A person skilled in the art will know how to  
30      adapt the application doses according to the nature of the crop to be treated.

35      The compositions according to the present invention may also be used for the preparation of composition useful to curatively or preventively treat human and animal fungal diseases such as, for example, mycoses, dermatoses, trichophyton diseases and candidiases or diseases caused by *Aspergillus spp.* or *Candida spp.*, for example *Aspergillus fumigatus* or *Candida albicans* respectively.

The present invention will now be illustrated with the following examples :

**Example 1 : Efficacy against *Pyrenophora teres* of a composition containing N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2-trifluoromethylbenzamide (Compound 1) and trifloxystrobin.**

5 The active ingredients tested are prepared by potter homogenisation in a mixture of acetone/tween/water . This suspension is then diluted with water to obtain  
10 the desired active material concentration.

15 Barley plants (Express variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 12°C, are treated at the 1-leaf stage (10 cm tall) by spraying with the aqueous suspension described above. Plants, used as controls, are treated with an aqueous solution not containing the active material.

20 After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Pyrenophora teres* spores (12,000 spores per ml). The spores are collected from a 12-day-old culture .The contaminated barley plants are incubated for 24 hours at about 20°C and at 100% relative humidity, and then for 12 days at 80% relative humidity.

25 Grading (% of efficacy) is carried out 12 days after the contamination, in comparison with the control plants.

The following table summarises the results obtained when tested compound 1 and trifloxystrobin alone and in a 1/1 weight ratio mixture.

25

|                                          | Dose (g/ha) | % Efficacy | Synergism (Colby) |
|------------------------------------------|-------------|------------|-------------------|
| Compound 1                               | 4           | 20         | -                 |
|                                          | 8           | 50         | -                 |
| Trifloxystrobin                          | 4           | 50         | -                 |
|                                          | 8           | 70         | -                 |
| Compound 1 + Trifloxystrobin (Ratio 1/1) | 4+4         | 85         | +25               |
|                                          | 8+8         | 90         | +5                |

According to the Colby method, a synergistic effect of the mixtures tested has been observed.

**Example 2 : Efficacy against *Alternaria brassicae* (in vitro) of a composition containing N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2-trifluoromethylbenzamide (Compound 1) and trifloxystrobin.**

5 The growth of *Alternaria brassicae* was followed on PDA medium containing the compounds alone or in mixtures.

The PDA medium is prepared by mixing 39 grams of PDA (Merck) in 1 liter of demineralized water. The medium is sterilized by autoclave 15 minutes at 121°C. The compounds are dissolved in acetone and added to the medium before pouring it 10 in Petri dishes. After medium drying, the fungus is inoculated on the medium and allowed to growth at 19°C.

The percentage of efficacy is noted after 14 days of growth (measurement of the radial growth) of the fungus in comparison with a control.

15 The following table summarises the results obtained when tested compound 1 and trifloxystrobin alone and in different weight ratio mixtures.

|                                             | Dose (ppm) | % Efficacy | Synergism (Colby) |
|---------------------------------------------|------------|------------|-------------------|
| Compound 1                                  | 0.025      | 34         | -                 |
|                                             | 0.05       | 45         | -                 |
|                                             | 0.1        | 53         | -                 |
|                                             | 0.25       | 76         | -                 |
|                                             | 0.5        | 90         | -                 |
| Trifloxystrobin                             | 0.025      | 45         | -                 |
|                                             | 0.05       | 44         | -                 |
|                                             | 0.1        | 45         | -                 |
|                                             | 0.25       | 53         | -                 |
|                                             | 0.5        | 60         | -                 |
|                                             | 1          | 65         | -                 |
|                                             | 2.5        | 71         | -                 |
| Compound 1 + Trifloxystrobin<br>(Ratio 1/1) | 0.05+0.05  | 79         | +10               |
|                                             | 0.1+0.1    | 93         | +19               |
|                                             | 0.5+0.5    | 100        | +4                |
| Compound 1 + Trifloxystrobin<br>(Ratio 1/2) | 0.05+0.1   | 85         | +15               |
|                                             | 0.25+0.5   | 100        | +10               |
| Compound 1 + Trifloxystrobin<br>(Ratio 2/1) | 0.1+0.05   | 97         | +23               |
|                                             | 0.5+0.25   | 100        | +5                |
| Compound 1 + Trifloxystrobin<br>(Ratio 1/4) | 0.025+0.1  | 75         | +11               |

|                                                 |           |     |     |
|-------------------------------------------------|-----------|-----|-----|
| Compound (Ia) + Trifloxystrobin<br>(Ratio 4/1)  | 0.1+0.025 | 100 | +26 |
| Compound (Ia) + Trifloxystrobin<br>(Ratio 1/10) | 0.05+0.5  | 96  | +18 |
|                                                 | 0.25+2.5  | 100 | +7  |

According to the Colby method, a synergistic effect of the mixtures tested has been observed.

5    **Example 3 : Efficacy against *Alternaria brassicae* (in vitro) of a composition containing N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2-trifluoromethylbenzamide (Compound 1) and azoxystrobin.**

10    The growth of *Alternaria brassicae* was followed on PDA medium containing the compounds alone or in mixtures.

The PDA medium is prepared by mixing 39 grams of PDA (Merck) in 1 liter of demineralized water. The medium is sterilized by autoclave 15 minutes at 121°C. The compounds are dissolved in acetone and added to the medium before pouring it in Petri dishes. After medium drying, the fungus is inoculated on the medium and allowed to growth at 19°C. The percentage of efficacy is noted after 14 days of growth (measurement of the radial growth) of the fungus in comparison with a control.

The following table summarises the results obtained when tested compound 1 and azoxystrobin alone and in different weight ratio mixtures.

20

|              | Dose<br>(ppm) | % Efficacy | Synergism<br>(Colby) |
|--------------|---------------|------------|----------------------|
| Compound 1   | 0.025         | 34         | -                    |
|              | 0.05          | 45         | -                    |
|              | 0.1           | 53         | -                    |
|              | 0.25          | 76         | -                    |
|              | 0.5           | 90         | -                    |
| Aroxystrobin | 0.025         | 38         | -                    |
|              | 0.05          | 41         | -                    |
|              | 0.1           | 47         | -                    |
|              | 0.25          | 56         | -                    |
|              | 0.5           | 47         | -                    |
|              | 1             | 48         | -                    |
|              | 2.5           | 49         | -                    |

|                                              |           |     |     |
|----------------------------------------------|-----------|-----|-----|
| Compound 1 + Azoxystrobin<br>(Ratio 1/1)     | 0.05+0.05 | 70  | +2  |
|                                              | 0.1+0.1   | 88  | +13 |
|                                              | 0.5+0.5   | 100 | +5  |
| Compound 1 + Azoxystrobin<br>(Ratio 1/2)     | 0.05+0.1  | 76  | +5  |
|                                              | 0.25+0.5  | 95  | +8  |
| Compound 1 + Azoxystrobin<br>(Ratio 2/1)     | 0.1+0.05  | 81  | +9  |
|                                              | 0.5+0.25  | 98  | +2  |
| Compound (Ia) + Azoxystrobin<br>(Ratio 4/1)  | 0.1+0.025 | 100 | +29 |
| Compound (Ia) + Azoxystrobin<br>(Ratio 1/10) | 0.05+0.5  | 86  | +15 |
|                                              | 0.25+2.5  | 100 | +12 |

According to the Colby method, a synergistic effect of the mixtures tested has been observed.

- 5    Example 4 : Efficacy against *Sphaerotheca fuliginea* of a composition containing N-{2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl}-2-trifluoromethyl-benzamide (Compound 1) and boscalid.

10   The active ingredients tested are prepared by potter homogenisation in a mixture of acetone/tween/water . This suspension is then diluted with water to obtain the desired active material concentration.

15   Gherkin plants (Vert petit de Paris variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 20°C/23°C, are treated at the 2-leaves stage by spraying with the aqueous suspension described above. Plants, used as controls, are treated with an aqueous solution not containing the active material.

After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Sphaerotheca fuliginea* spores (100 000 spores per ml). The spores are collected from a contaminated plants .The contaminated gerkhin plants are incubated at about 20°C/25°C and at 60/70% relative humidity.

20   Grading (% of efficacy) is carried out 21 days after the contamination, in comparison with the control plants.

The following table summarises the results obtained when tested compound 1 and boscalid alone and in a 1/1 weight ratio mixture.

|                                      | Dose<br>(ppm) | % Efficacy | Synergism<br>(Colby) |
|--------------------------------------|---------------|------------|----------------------|
| Compound 1                           | 4             | 10         | -                    |
|                                      | 8             | 15         | -                    |
|                                      | 15            | 25         | -                    |
| Boscalid                             | 4             | 0          | -                    |
|                                      | 8             | 10         | -                    |
|                                      | 15            | 45         | -                    |
| Compound 1 + Boscalid<br>(Ratio 1/1) | 4+4           | 40         | +30                  |
|                                      | 8+8           | 70         | +47                  |
|                                      | 15+15         | 95         | +36                  |

According to the Colby method, a synergistic effect of the mixtures tested has been observed.

5

**Example 5 : Efficacy against *Sphaerotheca fuliginea* of a composition containing N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2-trifluoromethyl-benzamide (Compound 1) and N-(3',4'-dichloro-5-fluorobiphenyl-2-yl)-3-(difluoro-methyl)-1-methyl-1*H*-pyrazole-4-carboxamide (Compound A).**

10

The active ingredients tested are prepared by potter homogenisation in a mixture of acetone/tween/water . This suspension is then diluted with water to obtain the desired active material concentration.

15 Gherkin plants (Vert petit de Paris variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 20°C/23°C, are treated at the 2-leaves stage by spraying with the aqueous suspension described above. Plants, used as controls, are treated with an aqueous solution not containing the active material.

20 After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Sphaerotheca fuliginea* spores (100 000 spores per ml). The spores are collected from a contaminated plants .The contaminated gerkin plants are incubated at about 20°C/25°C and at 60/70% relative humidity.

Grading (% of efficacy) is carried out 21 days after the contamination, in comparison with the control plants.

25

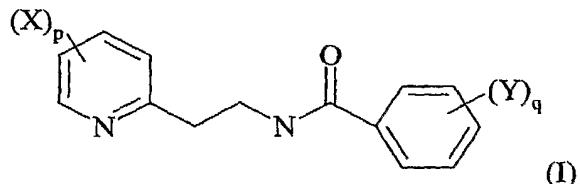
The following table summarises the results obtained when tested compound 1 and boscalid alone and in a 1/1 weight ratio mixture.

|                                        | Dose<br>(ppm) | % Efficacy | Synergism<br>(Colby) |
|----------------------------------------|---------------|------------|----------------------|
| Compound 1                             | 8             | 75         | -                    |
| Compound A                             | 8             | 60         | -                    |
| Compound 1 + Compound A<br>(Ratio 1/1) | 8+8           | 95         | +5                   |

According to the Colby method, a synergistic effect of the mixture tested has been observed.

CLAIMS

1. A composition comprising :
- 5 a) a pyridylethylbenzamide derivative of general formula (I)



in which :

- p is an integer equal to 1, 2, 3 or 4;
  - q is an integer equal to 1, 2, 3, 4 or 5;
  - each substituent X is chosen, independently of the others, as being halogen, alkyl or haloalkyl;
  - each substituent Y is chosen, independently of the others, as being halogen, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy, amino, phenoxy, alkylthio, dialkylamino, acyl, cyano, ester, hydroxy, aminoalkyl, benzyl, haloalkoxy, halosulphonyl, halothioalkyl, alkoxyalkenyl, alkylsulphonamide, nitro, alkylsulphonyl, phenylsulphonyl or benzylsulphonyl;
- 10 as to the N-oxides of 2-pyridine thereof;
- 15 and
- b) a compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms;
- 20 in a (a) / (b) weight ratio of from 0.01 to 20.

2. A composition according to claim 1, characterised in that p is 2.

3. A composition according to claim 1 or 2, characterised in that q is 2.

- 25 4. A composition according to any of the claims 1 to 3, characterised in that X is chosen, independently of the others, as being halogen or haloalkyl.

- 30 5. A composition according to any of the claims 1 to 4, characterised in that X is chosen independently of the others, as being a chlorine atom or a trifluoromethyl group.

6. A composition according to any of the claims 1 to 5, characterised in that Y is chosen, independently of the others, as being halogen or haloalkyl.
- 5 7. A composition according to any of the claims 1 to 6, characterised in that Y is chosen, independently of the others, as being a chlorine atom or a trifluoromethyl group.
8. A composition according to any of the claims 1 to 7, characterised in that the compound of general formula (I) is :
- 10 - N-{2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl}-2-trifluoromethylbenzamide;
- N-{2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl}-2-iodobenzamide; or
- N-{2-[3,5-dichloro-2-pyridinyl]ethyl}-2-trifluoromethylbenzamide .
- 15 9. A composition according to claim 8, characterised in that the compound of general formula (I) is N-{2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl}-2-trifluoromethylbenzamide.
10. A composition according to any of the claims 1 to 9, characterised in that the compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms is a compound capable of inhibiting reduced nicotinamide-adenine dinucleotide dehydrogenase in phytopathogenic fungal organisms.
- 20 11. A composition according to claim 10, characterised in that the compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms is diflumetorin.
12. A composition according to any of the claims 1 to 9, characterised in that the compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms is a compound capable of inhibiting succinate dehydrogenase in phytopathogenic fungal organisms.
- 30 13. A composition according to claim 12 characterised in that the compound capable of inhibiting the transport of electrons of the respiratory chain of succinate dehydrogenase in phytopathogenic fungal organisms is *N*-[2-(1,3-dimethyl-butyl)-

phenyl]-5-fluoro-1,3-dimethyl-1*H*-pyrazole-4-carboxamide, N-(3',4'-dichloro-5-fluorobiphenyl-2-yl)-3-(difluoro-methyl)-1-methyl-1*H*-pyrazole-4-carboxamide, N-[2-(1,3-dimethylbutyl)-thiophen-3-yl] 1-methyl-3-(trifluoromethyl)-1*H*-pyrazole-4-carboxamide, benodanil, carboxin, fenfuram, flutolanil, furametpyr, mepronil, boscald, oxycarboxin or thifluzamide.

14. A composition according to any of the claims 1 to 9, characterised in that the compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms is a compound capable of inhibiting mitochondrial ubiqinol:ferricytochrome-c oxidoreductase in phytopathogenic fungal organisms.

15. A composition according to claim 14, characterised in that the compound capable of inhibiting the transport of electrons of the respiratory chain of mitochondrial ubiqinol:ferricytochrome-c oxidoreductase in phytopathogenic fungal organisms is a strobilurin derivative, cyazofamid, fenamidone or famoxadone.

16. A composition according to claim 15, characterised in that the strobilurin derivative is azoxystrobin, dimoxystrobin, fluoxastrobin, kresoxim-methyl, metominostrobin, trifloxystrobin, pyraclostrobin, picoxystrobin or 2-{2-[6-(3-chloro-2-methylphenoxy)-5-fluoro-pyrimidin-4-yloxy]-phenyl}2-methoxyimino-N-methylacetamide.

17. A composition according to any one of the claims 1 to 16 further comprising a fungicidal compound (c).

18. A composition according to claim 17, characterised in that the fungicidal compound (c) is selected from captane, folpet, dodine, propineb, mancozeb, thiram, tolylfluanid, iminoctadine, dithianon, copper hydroxide, copper octanoate, copper oxychloride, copper sulfate, fosetyl-Al, phosphorous acid, cymoxanil, iprovalicarb, benthiavalicarb, chlorotalonil, propamocarb, tebuconazole and spiroxamine.

19. A composition according to any one of the claims 1 to 18, characterised in that it further comprises an agriculturally acceptable support, carrier, filler and/or surfactant.

20. A method for preventively or curatively controlling phytopathogenic fungi of crops, characterised in that an effective and non-phytotoxic amount of a composition according to any one of the claims 1 to 19 is applied to the seed, the plant and/or to the fruit of the plant or to the soil in which the plant is growing or in which it is desired to grow.

**ABSTRACT**

5 A composition comprising at least a pyridylethylbenzamide derivative of general formula (I) (a) and a compound capable of inhibiting the transport of electrons of the respiratory chain in phytopathogenic fungal organisms (b) in a (a) / (b) weight ratio of from 0.01 to 20.

A composition further comprising an additional fungicidal compound.

10

A method for preventively or curatively combating the phytopathogenic fungi of crops by using this composition.

